STN SEARCH 10/677733 FILE 'HOME' ENTERED AT 18:36:15 ON 06 FEB 2006 => file .nash => s pas kinase and nmr 2 FILE MEDLINE Ll L2 3 FILE CAPLUS 2 FILE SCISEARCH L3 L4 O FILE LIFESCI 2 FILE BIOSIS LS. 2 FILE EMBASE L6 TOTAL FOR ALL FILES 11 PAS KINASE AND NMR => s pas and nmr TOTAL FOR ALL FILES 329 PAS AND NMR L14 => s l14 and inhibitor TOTAL FOR ALL FILES 9 L14 AND INHIBITOR L21 => s 17 or 121 TOTAL FOR ALL FILES 20 L7 OR L21 L28 => s 128 not 2004-2006/py TOTAL FOR ALL FILES 13 L28 NOT 2004-2006/PY L35 => dup rem 135 PROCESSING COMPLETED FOR L35 5 DUP REM L35 (8 DUPLICATES REMOVED) => d ibib abs 1-5 L36 ANSWER 1 OF 5 MEDLINE on STN DUPLICATE 1 ACCESSION NUMBER: MEDLINE Full-text 2002619803 DOCUMENT NUMBER: PubMed ID: 12377121 TITLE: Structure and interactions of PAS kinase N-terminal PAS domain: model for intramolecular kinase regulation. COMMENT: Comment in: Chem Biol. 2002 Nov; 9(11):1165-6. PubMed ID: 12445766 Amezcua Carlos A; Harper Shannon M; Rutter Jared; Gardner AUTHOR: Kevin H CORPORATE SOURCE: Department of Biochemistry, The University of Texas Southwestern Medical Center, Dallas, TX 75390, USA. CONTRACT NUMBER: CA-90601 (NCI) SOURCE: Structure (Cambridge, Mass. : 2001), (2002 Oct) 10 (10) 1349-61. Journal code: 101087697. ISSN: 0969-2126. PUB. COUNTRY: United States DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) LANGUAGE: English FILE SEGMENT: Priority Journals OTHER SOURCE: PDB-1LL8 ENTRY MONTH: 200304 ENTRY DATE: Entered STN: 20021015 Last Updated on STN: 20030418 Entered Medline: 20030417 AB PAS domains are sensory modules in signal-transducing proteins that control responses to various environmental stimuli. To examine how those domains can regulate a eukaryotic kinase, we have studied the structure and binding interactions of the N-terminal PAS domain of human PAS kinase using solution NMR methods. While this domain adopts a characteristic PAS fold, two regions are unusually flexible in solution. One of these serves as a portal that allows small organic compounds to enter into the core of the domain, while the other binds and inhibits the kinase domain within the same protein.

Structural and functional analyses of point mutants demonstrate that the compound and ligand binding regions are linked, suggesting that the PAS domain serves as a ligand-

regulated switch for this eukaryotic signaling system.

L36 ANSWER 2 OF 5 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on

STN

ACCESSION NUMBER: 1998:299862 SCISEARCH Full-text

THE GENUINE ARTICLE: ZG563

TITLE: Incorporation of chirally deuterated putrescines into

pyrrolizidine alkaloids: A reinvestigation

AUTHOR: Graser G; Witte L; Robins D J; Hartmann T (Reprint)

CORPORATE SOURCE: Tech Univ Braunschweig, Inst Pharmazeut Biol,

Mendelssohnstr 1, D-38106 Braunschweig, Germany (Reprint); Tech Univ Braunschweig, Inst Pharmazeut Biol, D-38106 Braunschweig, Germany; Univ Glasgow, Dept Chem, Glasgow

G12 8QQ, Lanark, Scotland

COUNTRY OF AUTHOR: Germany; Scotland

SOURCE: PHYTOCHEMISTRY, (MAR 1998) Vol. 47, No. 6, pp. 1017-1024.

ISSN: 0031-9422.

PUBLISHER: PERGAMON-ELSEVIER SCIENCE LTD, THE BOULEVARD, LANGFORD

LANE, KIDLINGTON, OXFORD OX5 1GB, ENGLAND.

DOCUMENT TYPE: Article; Journal

LANGUAGE: English

REFERENCE COUNT: 30

ENTRY DATE: Entered STN: 1998

Last Updated on STN: 1998

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Based on previous tracer work and recent enzymatic studies it can be predicted that incorporation of (S)-1-H-2]putrescine via the symmetrical intermediate

homospermidine into the necine base moiety of pyrrolizidine alkaloids (PAs) should proceed with 50% retention of deuterium. However, values of only 34 to 34.5% retention had been found independently in two laboratories in the past. These

retention had been found independently in two laboratories in the past. These results were confirmed in this study. Deuterium isotope effects during homospermidine formation as a reason for the low retention could be excluded by GC mass spectral studies. Doubly-labelled [H-2-C-14] putrescine was fed to Senecio

vulgaris root cultures and by means of quantitative GC mass spectrometry the specific H-2-retention was established for various intermediates of PA-biosynthesis such as putrescine, spermidine and homospermidine. The results clearly indicate that H-2 is stereoselectively lost from (S)-[1-H-2]-labelled putrescine during its reversible inter-conversion with spermidine. This loss corresponds precisely to the above mentioned difference between measured and predicted H-2-retention. Since (S)-[1-H-2]-labelled putrescine is incorporated into spermidine with deuterium retention, it is most likely the H-2 is lost during the conversion of spermidine into putrescine. The mechanism of this unusual reaction which is insensitive to beta-hydroxyethylhydrazine (a potent diamine oxidase inhibitor) needs to be

elucidated. (C) 1998 Elsevier Science Ltd. All rights reserved.

L36 ANSWER 3 OF 5 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1997:446875 BIOSIS Full-text

DOCUMENT NUMBER: PREV199799746078

TITLE: Effects of hypoxia and toxicant exposure on arginine kinase

function as measured by 31P-NMR magnetization

transfer in living abalone.

AUTHOR(S): Shofer, Scott L.; Willis, James A.; Tjeerdema, Ronald S.

[Reprint author]

CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Cruz, CA 95064, USA

SOURCE: Comparative Biochemistry and Physiology C Pharmacology

Toxicology and Endocrinology, (1997) Vol. 117, No. 3, pp.

283-289.

CODEN: CBPCEE. ISSN: 0742-8413.

DOCUMENT TYPE: Article LANGUAGE: English

ENTRY DATE: Entered STN: 8 Oct 1997

Last Updated on STN: 8 Oct 1997

The activity of arginine kinase (AK) was evaluated by saturation transfer NMR in red abalone (Haliotis rufescens) in response to hypoxia, sodium azide (NaN-3; an inhibitor of cytochrome c oxidase), or pentachlorophenol (PCP; an uncoupter of oxidative phosphorylation) exposure. Pseudo-first order rate constants (K-for) in the forward (ATP forming) reaction direction showed maxima (increases from basal values of 0.025 s-1 to 0.095, 0.114, 0.126 s-1 for NaN-3 hypoxia, and PCP exposures, respectively. Increases in K-for were inversely correlated (r-2 = 1.00) to declines in ATP concentration in all exposed animals. Flux (the product of K-for and phosphoarginine concentration) appeared

to converge on a common value, from basal flux values of 0.257 mM PA s-1 to 0.703, 0.770, and 0.627 mM PAs-1 for NaN-3, hypoxia, and PCP exposures, respectively. It seems likely that all three stresses were equally effective at inhibiting mitochondrial ATP formation, which may account for the similarity in flux increase, possibly to maximal rates of AKmediated ATP formation. Differences in K-for are related to declines in ATP concentrations, which appear to be stress specific, and likely indicate additional mechanisms of toxicity for NaN-3 and PCP.

L36 ANSWER 4 OF 5 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on

1997:127069 SCISEARCH Full-text ACCESSION NUMBER: THE GENUINE ARTICLE: WG219

Pas oncoprotein inhibitors: The TITLE:

discovery of potent, ras nucleotide exchange inhibitors and the structural determination of a

drug-protein complex

Taveras A G (Reprint); Remiszewski S W; Doll R J; Cesarz AUTHOR:

D; Huang E C; Kirschmeier P; Pramanik B N; Snow M E; Wang Y S; delRosario J D; Vibulbhan B; Bauer B B; Brown J E; Carr D; Catino J; Evans C A; Girijavallabhan V; Heimark L; James L; Liberles S; Nash C; Perkins L; Senior M M; Tsarbopoulos A; Ganguly A K; Aust R; Brown E; Delisle D; Fuhrman S; Hendrickson T; Kissinger C; Love R; Sisson W;

Villafranca E; Webber S E

SCHERING PLOUGH CORP, RES INST, KENILWORTH, NJ 07033; CORPORATE SOURCE:

AGOURON PHARMACEUT, SAN DIEGO, CA 92121

COUNTRY OF AUTHOR: USA

SOURCE: BIOORGANIC & MEDICINAL CHEMISTRY, (JAN 1997) Vol. 5, No.

1, pp. 125-133. ISSN: 0968-0896.

PERGAMON-ELSEVIER SCIENCE LTD, THE BOULEVARD, LANGFORD PUBLISHER:

LANE, KIDLINGTON, OXFORD, ENGLAND OX5 1GB.

DOCUMENT TYPE: Article: Journal

LANGUAGE: English

REFERENCE COUNT: 25

AB

SOURCE:

ENTRY DATE: Entered STN: 1997

Last Updated on STN: 1997

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

The nucleotide exchange process is one of the key activation steps regulating the ras protein. This report describes the development of potent, non-nucleotide, small organic inhibitors of the ras nucleotide exchange process. These inhibitors bind to the ras protein in a previously unidentified binding pocket, without displacing bound nucleotide. This report also describes the development and use of mass spectrometry, NMR spectroscopy and molecular modeling techniques to elucidate the structure of a drug-protein complex, and aid in designing new ras inhibitor

L36 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 1995:897065 CAPLUS Full-text

DOCUMENT NUMBER: 123:333403

Catalytic Activity of the SH2 Domain of Human TITLE:

pp60c-src; Evidence from NMR, Mass

Spectrometry, Site-Directed Mutagenesis and Kinetic

Studies for an Inherent Phosphatase Activity

AUTHOR (S) : Boerner, Renee J.; Consler, Thomas G.; Gampe, Robert

targets. Copyright (C) 1997 Elsevier Science Ltd.

T.; Weigl, Debbra; Willard, Derril H.; Davis, Donald G.; Edison, Ann M.; Loganzo, Frank, Jr.; Kassel, D.

B.; et al.

Department of Biochemistry, Glaxo Research Institute, Research Triangle Park, NC, 27709, USA CORPORATE SOURCE:

Biochemistry (1995), 34(46), 15351-8

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

During solution structural studies it was apparent that the human recombinant pp60c-src SH2 domain (srcSH2, residues 144-249) possessed an inherent phosphatase (Pase) activity. Complexes of U[13C,15N] srcSH2 with unlabeled Ac-pYEEIE (I) were examined using 31P and 1Hdetected isotope filtered NMR methods. The presence of a high-affinity complex in

equimolar solns. of I and U[13C,15N] srcSH2 was demonstrated by chemical shift perturbations, line broadening, and the observation of intermol. nuclear Overhauser effects from the py and Ile side-chain protons of I to protons on amino acid residues present in the binding pocket of srcSH2. Solns. containing excess I relative to srcSH2 revealed a slow hydrolysis of I to produce Ac-YEEIE and inorg. phosphate. The hydrolysis rate determined from NMR and HPLC-electrospray ionization mass spectrometry data at 30 °C for solns. containing excess I was 0.002-0.003 h-1. The srcSH2 also catalyzed the hydrolysis of p-nitrophenyl phosphate (pNPP). Isoelec. focusing gels of a number of mutant srcSH2s demonstrated that this activity co-migrated with srcSH2. Km, kcat, and kcat/Km were 3.7 \pm 0.4 mM, 3.1 \pm 0.2 \pm 10-2 min-1, and 8.4 \pm 0.4 M-1 min-1, resp., toward pNPP. The C188A mutant of the srcSH2 domain displayed 15% of the activity displayed by wild-type srcSH2, demonstrating that this residue is not absolutely required for activity. Two addnl. mutations in the known pY binding site, R178K and R158K, also resulted in decreased pNPPase activity, suggesting that the activity resides in or near this site. The inhibitor profile and pH dependence suggest that this is a novel protein Pase activity. Other than phosphate (competitive inhibitor, $Ki = 50 \mu M$), the activity was not inhibited by known inhibitors of Ser/Thr or Tyr protein kinases. Inhibitors of Ser/Thr Pases were also not inhibitory, but the pNPPase activity was inhibited by the protein tyrosine phosphatase inhibitor orthovanadate. The pY-containing peptides inhibited the pNPPase activity, but the potency did not parallel the apparent binding affinity to the SH2 site. The data are consistent with a catalytically active form of SH2 that is present in small amts. These data suggest that srcSH2 may play a catalytic role in signal transduction and regulation of pp60c-src activity.

WEST Search History



DATE: Monday, February 06, 2006

Hide?	Set Name	Query	Hit Count
	DB = USPT, U	JSOC,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=1	YES; OP=ADJ
	L5	bisubstrate and nmr	48
	L4	kinase and nmr	5864
	L3	inhibitor and nmr	31527
	DB=PGPB;	THES=ASSIGNEE; PLUR=YES; OP=ADJ	
	L2	(pas kinase or pas domain) same nmr	3
	DB = USPT, U	JSOC,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=1	YES; OP=ADJ
	L1	(pas kinase or pas domain) same nmr	4

END OF SEARCH HISTORY

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Search Results - Record(s) 1 through 4 of 4 returned.

☐ 1. Document ID: US 6916834 B2

Using default format because multiple data bases are involved.

L1: Entry 1 of 4

File: USPT

Jul 12, 2005

US-PAT-NO: 6916834

DOCUMENT-IDENTIFIER: US 6916834 B2

TITLE: Preparations and use of an Ah receptor ligand, 2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid methyl ester

DATE-ISSUED: July 12, 2005

INVENTOR-INFORMATION:

CITY STATE ZIP CODE COUNTRY NAME Deerfield DeLuca; Hector F. WI Madison WI Song; Jiasheng Clagett-Dame; Margaret Deerfield WI Peterson; Richard E. Oregon WI Westler; William M. Madison WI Sicinski; Rafal R. Warsaw PL

US-CL-CURRENT: 514/365; 548/201

Full	Title	Citation	Front	Review	Classification	Date	Reference	Manual products	Claims	KWIC	Drawi D
				~ 70 /0	10/70 D1						

☐ 2. Document ID: US 6319679 B1

L1: Entry 2 of 4

File: USPT

Nov 20, 2001

US-PAT-NO: 6319679

DOCUMENT-IDENTIFIER: US 6319679 B1

TITLE: PAS kinase

DATE-ISSUED: November 20, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY
McKnight; Steven L. Dallas TX
Gardner; Kevin Dallas TX
Harper; Shannon Dallas TX

Record List Display Page 2 of 4

Rutter; Jared Dallas TX
Michnoff; Carolyn Dallas TX
Amezcua; Carlos Dallas TX

US-CL-CURRENT: 435/15; 435/194, 530/300, 530/350, 536/23.2, 536/23.5

ABSTRACT:

The invention provides methods and compositions relating to a novel kinase designated PAS Kinase (PASK). The compositions include isolated polypeptides comprising a native PASK protein or a PASK N-terminal domain and polypeptides consisting of a PASK PAS-A or PAS-B domain, as well as isolated polynucleotides encoding such polypeptides, and expression vectors and cells comprising such polynucleotides. The methods include binding assays comprising the steps of incubating a mixture comprising a subject polypeptide with a ligand under conditions wherein the polypeptide binds the ligand; and detecting binding of the polypeptide to the ligand.

13 Claims, 3 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De

File: DWPI

☐ 3. Document ID: US 20050074846 A1, WO 2005033662 A2

DERWENT-ACC-NO: 2005-272402

DERWENT-WEEK: 200528

L1: Entry 3 of 4

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TITLE: Changing a functional surface binding specificity of a PAS domain comprises introducing into the hydrophobic core of the PAS domain a foreign ligand of the PAS domain

INVENTOR: AMEZCUA, C A; BRUICK, R K; CARD, P B; ERBEL, P J A; GARDNER, K H; HARPER, S; MCKNIGHT, S L; RUTTER, J; AMEZCUA, C; BRUICK, R; CARD, P; ERBEL, P; GARDNER, K; MCKNIGHT, S

PRIORITY-DATA: 2003US-0677734 (October 1, 2003)

PATENT-FAMILY:

 PUB-NO
 PUB-DATE
 LANGUAGE
 PAGES
 MAIN-IPC

 US 20050074846 A1
 April 7, 2005
 018
 C07H021/04

 WO 2005033662 A2
 April 14, 2005
 E
 000
 G01N000/00

INT-CL (IPC): C07 H 21/04; C12 N 9/12; G01 N 0/00

ABSTRACTED-PUB-NO: US20050074846A

BASIC-ABSTRACT:

NOVELTY - Changing a functional surface binding specificity of a Per-ARNT-Sim (PAS)

Apr 7, 2005

Jun 24, 2004

domain comprises introducing into the hydrophobic core of the PAS domain a foreign liquid of the PAS domain.

DETAILED DESCRIPTION - Changing a functional surface binding specificity of a Per-ARNT-Sim (PAS) domain comprises:

- (a) introducing into the hydrophobic core of the PAS domain a foreign ligand of the PAS domain; and
- (b) detecting a resultant change in the functional surface binding specificity of the <u>PAS domain</u>, where the <u>PAS domain</u> is predetermined, prefolded in its native state, and comprises a hydrophobic core that has no <u>NMR</u>-apparent a priori formed ligand cavity.

USE - The method is useful for changing a functional surface binding specificity of a PAS domain (claimed).

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
_	4	Dooum	ant ID	. TIC 1	004012140	0 4 1						

File: DWPI

DERWENT-ACC-NO: 2004-479678

DERWENT-WEEK: 200445

L1: Entry 4 of 4

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TITLE: Detection of binding of Per-ARNT-Sim domain with foreign core ligand of domain, comprises comparing two nuclear magnetic resonance spectrum of domain in absence of ligand to infer presence of ligand bound within hydrophobic core

INVENTOR: AMEZCUA, C A; CARD, P B ; ERBEL, P J A ; GARDNER, K H

PRIORITY-DATA: 2003US-0677733 (October 1, 2003), 2001US-0770170 (January 26, 2001), 2001US-0059962 (November 19, 2001)

PATENT-FAMILY:

PUB-NO PUB-DATE LANGUAGE PAGES MAIN-IPC
US 20040121409 A1 June 24, 2004 018 G01N033/53

INT-CL (IPC): G01 N 33/53

ABSTRACTED-PUB-NO: US20040121409A

BASIC-ABSTRACT:

NOVELTY - Detection of binding of a Per-ARNT-Sim (PAS) domain with a foreign core ligand of the PAS domain, comprises detecting a first $\underline{\text{NMR}}$ spectrum of the PAS domain in the presence of a foreign ligand; and comparing the first $\underline{\text{NMR}}$ spectrum with a second $\underline{\text{NMR}}$ spectrum of the PAS domain in the absence of the ligand to infer the presence of ligand specifically bound within the hydrophobic core of the PAS domain.

DETAILED DESCRIPTION - Detection of binding of a Per-ARNT-Sim (PAS) domain with a foreign core ligand of the PAS domain, the PAS domain being predetermined, prefolded in its native state, and comprising a hydrophobic core that has no NMR-apparent a priori formed ligand cavity, comprises detecting a first NMR spectrum of

the <u>PAS domain</u> in the presence of a foreign ligand; and comparing the first $\underline{\text{NMR}}$ spectrum with a second $\underline{\text{NMR}}$ spectrum of the <u>PAS domain</u> in the absence of the ligand to infer the presence of ligand specifically bound within the hydrophobic core of the <u>PAS domain</u>.

USE - For detecting binding of a PAS domain, e.g. PAS kinase PAS A (claimed), with a foreign core ligand of the PAS domain.

ADVANTAGE - The introduction of foreign ligands into the hydrophobic core of PAS domain proteins can induce structural changes distal to the core and change the functional surface binding specificity of the PAS domain. This regulates the interaction of PAS domains with their biomolecular targets.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences.	Attachments	Claims	KWIC	Draw. De
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Search Results - Record(s) 1 through 3 of 3 returned.

☐ 1. Document ID: US 20050074846 A1

Using default format because multiple data bases are involved.

L2: Entry 1 of 3

File: PGPB

Apr 7, 2005

PGPUB-DOCUMENT-NUMBER: 20050074846

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20050074846 A1

TITLE: Foreign PAS ligands regulate PAS domain function

PUBLICATION-DATE: April 7, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Gardner, Kevin H.	Dallas	TX	US
Amezcua, Carlos A.	Dallas	TX	US
Erbel, Paulus J.A.	Dallas	TX	US
Card, Paul B.	Dallas	TX	US
Harper, Shannon	Dallas	TX	US
Rutter, Jared	Salt Lake City	UT	US
Bruick, Richard K.	Dallas	TX	US
McKnight, Steven L.	Dallas	TX	US

US-CL-CURRENT: 435/69.1; 435/194, 435/320.1, 435/325, 536/23.2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KVMC	Draw
 _	2. L	Jocume	nt ID:	US 20	040121409	Al						
L2: E	ntry	2 of 3	3			F	ile: PGP	В		Jun	24,	2004

PGPUB-DOCUMENT-NUMBER: 20040121409

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040121409 A1

TITLE: NMR detection of foreign PAS domain ligands

PUBLICATION-DATE: June 24, 2004

INVENTOR-INFORMATION:

NAME CITY STATE COUNTRY

Gardner, Kevin H.	Dallas	TX	US
Amezcua, Carlos A.	Dallas	TX	US
Erbel, Paulus J.A.	Dallas	ТX	US
Card, Paul B.	Dallas	TX	US

US-CL-CURRENT: 435/7.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De
			-									
	3.	Docume	nt ID:	US 20	030059917	A1						
L2: E	Entry	3 of 3	}			F	ile: PGP	В		Mar	27,	2003

PGPUB-DOCUMENT-NUMBER: 20030059917

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030059917 A1

TITLE: PAS kinase

PUBLICATION-DATE: March 27, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
McKnight, Steven L.	Dallas	TX	US
Gardner, Kevin	Dallas	TX	US
Harper, Shannon	Dallas	TX	us
Rutter, Jared	Dallas	TX	US
Michnoff, Carolyn	Dallas	TX	US
Amezcua, Carlos	Dallas	TX	US

US-CL-CURRENT: 435/194; 435/320.1, 435/325, 435/69.1, 536/23.2

Full	Title Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Drawe D
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	Terms			.				Docum	nents		
	(pas kin	ase	or pa	s domai	n) s	ame nm	r			3	

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Page 1 of 22

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Search Results - Record(s) 1 through 30 of 48 returned.

☐ 1. Document ID: US 6977246 B2

Using default format because multiple data bases are involved.

L5: Entry 1 of 48

File: USPT

Dec 20, 2005

US-PAT-NO: 6977246

DOCUMENT-IDENTIFIER: US 6977246 B2

TITLE: Certain dinucleotides and their use as modulators of mucociliary clearance

and ciliary beat frequency

DATE-ISSUED: December 20, 2005

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Pendergast; William Durham NC
Yerxa; Benjamin R. Raleigh NC
Rideout; Janet L. Raleigh NC
Siddigi; Suhaib M. Raleigh NC

US-CL-CURRENT: 514/47; 514/48, 514/51, 536/25.6, 536/26.1

Full Title Citation Front Review Classification Date Reference Sequences Attachments Claims KWIC Draw. De

☐ 2. Document ID: US 6950757 B2

L5: Entry 2 of 48 File: USPT Sep 27, 2005

US-PAT-NO: 6950757

DOCUMENT-IDENTIFIER: US 6950757 B2

TITLE: Screening methods for identifying ligands

DATE-ISSUED: September 27, 2005

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Stewart; Lansing J. Bainbridge Island WA

US-CL-CURRENT: 702/27; 117/11, 435/6, 435/7.1

ABSTRACT:

Record List Display

This invention relates to crystallization based assays for identifying ligands that bind to a macromolecule.

5 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full Title Citation Front Review Classification Date Reference Sequences Attachments	91311113	KONIC	DIAME D
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☐ 3. Document ID: US 6943191 B1

L5: Entry 3 of 48

File: USPT

Sep 13, 2005

US-PAT-NO: 6943191

DOCUMENT-IDENTIFIER: US 6943191 B1

TITLE: Disubstituted lavendustin A analogs and pharmaceutical composition comprising the analogs

DATE-ISSUED: September 13, 2005

INVENTOR - INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY
Narayanan; Venkatachala L. Gaithersburg MD
Sausville; Edward A. Silver Spring MD
Kaur; Gurmeet Germantown MD
Varma; Ravi K. Rockville MD

US-CL-CURRENT: 514/535; 514/563, 560/46

ABSTRACT:

Disubstituted lavendustin A analogs that are PTK inhibitors having antiproliferative activity are described. Preferred compounds of the disclosure, without limitation, satisfy either Formula 1 or Formula 2. ##STR1##

The present disclosure also provides pharmaceutical compositions comprising effective amounts of disubstituted lavendustin A analogs and potentially comprising other active ingredients, other materials conventionally used in the formulation of pharmaceutical compositions, and mixtures thereof. The compounds and compositions of the disclosure can be used for treating subjects to, for example, inhibit the proliferation of living cells in the treatment of proliferative diseases.

22 Claims, 0 Drawing figures Exemplary Claim Number: 1,11,20

Full Title Citation	Front Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Drawu De

☐ 4. Document ID: US 6797460 B2

Record List Display Page 3 of 22

L5: Entry 4 of 48 File: USPT Sep 28, 2004

US-PAT-NO: 6797460

DOCUMENT-IDENTIFIER: US 6797460 B2

TITLE: NMR-solve method for rapid identification of bi-ligand drug candidates

DATE-ISSUED: September 28, 2004

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Sem; Daniel S. San Diego CA
Pellecchia; Maurizio San Diego CA
Tempczyk-Russell; Anna San Diego CA

US-CL-CURRENT: 435/4; 435/15, 435/16, 435/17, 435/25, 435/26

ABSTRACT:

Methods for rapidly identifying drug candidates that can bind to an enzyme at both a common ligand site and a specificity ligand site, resulting in high affinity binding. The bi-ligand drug candidates are screened from a focused combinatorial library where the specific points of variation on a core structure are optimized. The optimal points of variation are identified by which atoms of a ligand bound to the common ligand site are identified to be proximal to the specificity ligand site. As a result, the atoms proximal to the specificity ligand site can then be used as a point for variation to generate a focused combinatorial library of high affinity drug candidates that can bind to both the common ligand site and the specificity ligand site. Different candidates in the library can then have high affinity for many related enzymes sharing a similar common ligand site.

160 Claims, 28 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 12

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw, De

5. Document ID: US 6710078 B2

L5: Entry 5 of 48 File: USPT Mar 23, 2004

US-PAT-NO: 6710078

DOCUMENT-IDENTIFIER: US 6710078 B2

TITLE: 5-Substituted-3(2H)-furanones useful for inhibition of farnesyl-protein

transferase

DATE-ISSUED: March 23, 2004

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Ayral-Kaloustian; Semiramis Tarrytown NY

Page 4 of 22 Record List Display

NY Hollander; Irwin Monsey Ramsey NJ Aulabaugh; Ann

US-CL-CURRENT: 514/474; 514/255.05, 514/444, 514/471, 514/473, 549/475, 549/60

ABSTRACT:

Compounds of Formula (I): ##STR1##

wherein R.sub.1, R.sub.2, R.sub.3, X, Y, Z and Q are as defined in the specification which compounds are inhibitors of Ras farnesyl-protein transferase enzyme (FPTase), and useful in treating ras oncogene-dependent tumors, such as cancers of the pancreas, colon, bladder, and thyroid and processes for the preparation of said compounds of Formula (I).

38 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De
	6. D	ocume	nt ID:	US 67	06764 B2							
L5: E	Entry	6 of 4	8			I	File: US	PT		Mar	16,	2004

US-PAT-NO: 6706764

DOCUMENT-IDENTIFIER: US 6706764 B2

TITLE: Use of creatine or creatine analogs for the treatment of diseases of the nervous system

DATE-ISSUED: March 16, 2004

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY Kaddurah-Daouk; Rima Belmont MA Daouk; Ghaleb Belmont MA Beal; M. Flint Boston MA

US-CL-CURRENT: <u>514/565</u>; <u>514/275</u>, <u>514/385</u>, <u>514/386</u>, <u>514/396</u>, <u>514/501</u>, <u>514/553</u>, <u>514/563</u>, <u>514/564</u>, <u>514/575</u>, <u>514/631</u>, <u>514/636</u>, <u>514/646</u>

ABSTRACT:

The present invention relates to the use of creatine compounds including creatine, creatine phosphate or analogs of creatine, such as cyclocreatine, for treating diseases of the nervous system. Creatine compounds can be used as therapeutically effective agents against a variety of diseases of the nervous system such as diabetic and toxic neuropathies, peripheral nervous system diseases, Alzheimer disease, Parkinson's disease, stroke, Huntington's disease, amyotropic lateral sclerosis, motor neuron disease, traumatic nerve injury, multiple sclerosis, dysmyelination and demyelination disorders, and mitochondrial diseases. The Creatine compounds which can be used in the present method include (1) creatine, creatine phosphate and analogs of these compounds which can act as substrates or

substrate analogs for creatine kinase; (2) bisubstrate inhibitors of creatine kinase comprising covalently linked structural analogs of adenosine triphosphate (ATP) and creatine; (3) creatine analogs which can act as reversible or irreversible inhibitors of creatine kinase; and (4) N-phosphorocreatine analogs bearing non-transferable moieties which mimic the N-phosphoryl group.

16 Claims, 7 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 7

Full Title Citation Front Review Classification Date Reference Sequences Attachments Claims KWIC Draw. De

7. Document ID: US 6689595 B1

L5: Entry 7 of 48

File: USPT

Feb 10, 2004

US-PAT-NO: 6689595

DOCUMENT-IDENTIFIER: US 6689595 B1

** See image for Certificate of Correction **

TITLE: Crystallization and structure determination of Staphylococcus aureus thymidylate kinase

DATE-ISSUED: February 10, 2004

INVENTOR-INFORMATION:

NAME

CITY

STATE

ZIP CODE

COUNTRY

Benson; Timothy E.

Kalamazoo

MI

US-CL-CURRENT: 435/183; 117/11, 435/15, 530/350, 530/355, 530/820, 530/825, 702/19, <u>702/27</u>

ABSTRACT:

An unliganded form of Staphylococcus aureus thymidylate kinase (S. aureus TMK) has been crystallized, and the three dimensional x-ray crystal structure has been solved to 2.3 .ANG. resolution. The x-ray crystal structure is useful for solving the structure of other molecules or molecular complexes, and designing inhibitors of S. aureus TMK activity.

6 Claims, 224 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 219

Full Title Citation Front Review Classification Date Reference Sequences Attachments Claims KMC Draw De

□ 8. Document ID: US 6673927 B2

L5: Entry 8 of 48

File: USPT

Jan 6, 2004

US-PAT-NO: 6673927

Page 6 of 22 Record List Display

DOCUMENT-IDENTIFIER: US 6673927 B2

TITLE: Farnesyl transferase inhibitors

DATE-ISSUED: January 6, 2004

INVENTOR-INFORMATION:

CITY STATE ZIP CODE COUNTRY NAME

Medway MA Gordon; Thomas D. Morgan; Barry A. Franklin MA

US-CL-CURRENT: 544/350; 544/346, 548/203, 548/204, 548/205, 548/235, 548/236, 548/335.5, 548/338.1

ABSTRACT:

The present invention is directed to compounds of the ##STR1##

wherein the variables are as defined in the specification. The compounds are useful for inhibiting farnesyl transferase and for the treatment of tumors and restenosis.

4 Claims, 0 Drawing figures Exemplary Claim Number: 1

Fuli	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De

File: USPT

9. Document ID: US 6620589 B1

L5: Entry 9 of 48

Sep 16, 2003

US-PAT-NO: 6620589

DOCUMENT-IDENTIFIER: US 6620589 B1

** See image for Certificate of Correction **

TITLE: NMR-solve method for rapid identification of Bi-ligand drug candidates

DATE-ISSUED: September 16, 2003

INVENTOR-INFORMATION:

CITY STATE ZIP CODE COUNTRY NAME

Sem; Daniel S. San Diego CA San Diego Pellecchia; Maurizio CA Tempczyk-Russell; Anna San Diego CA

US-CL-CURRENT: 435/7.1; 435/15, 435/16, 435/25, 435/26

ABSTRACT:

Methods for rapidly identifying drug candidates that can bind to an enzyme at both a common ligand site and a specificity ligand site, resulting in high affinity binding. The bi-ligand drug candidates are screened from a focused combinatorial library where the specific points of variation on a core structure are optimized.

The optimal points of variation are identified by which atoms of a ligand bound to the common ligand site are identified to be proximal to the specificity ligand site. As a result the atoms proximal to the specificity ligand site can then be us ed as a point for variation to generate a focused combinatorial library of high affinity drug candidates that can bind to both the common ligand site and the specificity ligand site. Different candidates in the library can then have high affinity for many related enzymes sharing a similar common ligand site.

62 Claims, 28 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 12

Full	Title	Citation	Front	Review	Classification	Date	Reference	BED LIGHT	Attachments:	Claims	KVMC	Draw. De
	10.	Docume	ent ID	: US 6	541276 B2							
L5: 1	Entry	10 of 4	48				File:	USPT		Apr	1,	2003

US-PAT-NO: 6541276

DOCUMENT-IDENTIFIER: US 6541276 B2

TITLE: Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries thereof

DATE-ISSUED: April 1, 2003

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Patel; Dinesh V. Fremont CA Ngu; Khehyong Palo Alto CA

US-CL-CURRENT: 436/518; 435/DIG.22, 435/DIG.34, 435/DIG.49, 530/335

ABSTRACT:

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteases).

7 Claims, 10 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 10

Full	Title	Citation	Front	Review	Classification	Date	Reference	Seguençes Attackments C	laims	KWIC	Draw, De

☐ 11. Document ID: US 6503914 B1

Record List Display Page 8 of 22

L5: Entry 11 of 48

File: USPT

Jan 7, 2003

US-PAT-NO: 6503914

DOCUMENT-IDENTIFIER: US 6503914 B1

** See image for Certificate of Correction **

TITLE: Thienopyrimidine-based inhibitors of the Src family

DATE-ISSUED: January 7, 2003

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Benish; Michele A. Pearland TX
Lawless; Michael St. Charles MO
Budde; Raymond J. A. Bellaire TX

US-CL-CURRENT: <u>514/260.1</u>; <u>544/278</u>

ABSTRACT:

Various thienopyrimidine-based analog compounds that selectively inhibit the Src family of tyrosine kinases. These compounds are thienopyrimidines and contain a hydrozone bridge created by heating a thienopyrimidine hydrazine with an aldehyde in ethanol at reflux. Such compounds are useful in the treatment of various diseases including hyperproliferative diseases, hematologic diseases, osteoporosis, neurological diseases, autoimmune diseases, allergic/immunological diseases, or viral infections.

99 Claims, 6 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 6

Full Title Citation Front Review Classification Date Reference Seguences Attachments Claims	ull	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, D
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☐ 12. Document ID: US 6485941 B1

L5: Entry 12 of 48 File: USPT Nov 26, 2002

US-PAT-NO: 6485941

DOCUMENT-IDENTIFIER: US 6485941 B1

TITLE: Inhibition of the carboxyltransferase component of acetyl-CoA carboxylase, and the use of such inhibition in anti-cancer and anti-lipogenic therapies

DATE-ISSUED: November 26, 2002

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Waldrop; Grover L. Baton Rouge LA Stephens; Jacqueline M. Baton Rouge LA Levert; Keith L. Baton Rouge LA

Record List Display Page 9 of 22

US-CL-CURRENT: $\frac{435}{69.2}$; $\frac{424}{94.5}$, $\frac{435}{15}$, $\frac{435}{183}$, $\frac{435}{232}$, $\frac{435}{4}$, $\frac{548}{113}$,

548/303.7

ABSTRACT:

A method is disclosed for inhibiting carboxyltransferase with <u>bisubstrate</u> analogs. One such analog has been shown to inhibit the carboxyltransferase component of E. coli acetyl-CoA carboxylase. It is also expected to inhibit mammalian acetyl-CoA carboxylase, and thereby to act as an antiobesity agent and an anti-cancer agent.

10 Claims, 2 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Drawt De

☐ 13. Document ID: US 6465434 B1

L5: Entry 13 of 48

File: USPT

Oct 15, 2002

US-PAT-NO: 6465434

DOCUMENT-IDENTIFIER: US 6465434 B1

TITLE: Methods and compositions for the inhibition of cancer metastasis mediated by endothelial adhesion molecules

DATE-ISSUED: October 15, 2002

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Magnani; John L. Frederick MD 21702

Butcher; Eugene C. Portola Valley CA
Berg; Ellen L. Palo Alto CA

US-CL-CURRENT: 514/23; 514/53, 514/54, 514/61

ABSTRACT:

Methods and compositions are disclosed for the inhibition of cancer metastases mediated by endothelial adhesion molecules. The present invention discloses that sialyl Le.sup.a and di-sialyl Le.sup.a, which are expressed at the surface of cancer cells, function as a binding partner for LEC-CAMs, such as ELAM-1, which are expressed at the surface of endothelial cells. The present invention also discloses that LEC-CAMs, such as ELAM-1, involved in cancer metastasis share a carbohydrate domain common to both sialyl Le.sup.a and sialyl Le.sup.x. Antibodies, saccharides, glycoconjugates, enzyme inhibitors and other compounds may be used in the methods of the present invention to inhibit the binding of malignant cells to endothelial cells for a variety of purposes in vivo and in vitro.

4 Claims, 3 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 3



☐ 14. Document ID: US 6391857 B1

L5: Entry 14 of 48

File: USPT

May 21, 2002

US-PAT-NO: 6391857

DOCUMENT-IDENTIFIER: US 6391857 B1

TITLE: Methods and compositions for endothelial binding

DATE-ISSUED: May 21, 2002

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Magnani; John L. Rockville MD 20853

Butcher; Eugene C. Portolla Valley CA
Berg; Ellen L. Fremont CA

US-CL-CURRENT: 514/25; 424/184.1, 514/53, 514/54, 514/61, 514/62, 514/8, 530/395, 530/807, 536/1.11, 536/4.1, 536/55, 536/55.1, 536/55.2

ABSTRACT:

Novel methods and compositions are provided for modulating homing of leukocytes, particularly lympho-cytes, where the compounds are cross-reactive with Neu5Ac2-3Gal.beta.1-X[Fuc.alpha.1-y]GlcNAc, where one of x and y is three and the other is four. These compounds may be administered to a host associated with inflammation, to avoid the deleterious effects of leukocyte infiltration and for directing molecules to such sites.

5 Claims, 1 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De

☐ 15. Document ID: US 6387884 B1

L5: Entry 15 of 48

File: USPT

May 14, 2002

US-PAT-NO: 6387884

DOCUMENT-IDENTIFIER: US 6387884 B1

TITLE: Leukocyte homing modulation

DATE-ISSUED: May 14, 2002

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Magnani; John L. Gaithersburg MD 20879

Butcher; Eugene C. Portola Valley CA Berg; Ellen L. Fremont CA

US-CL-CURRENT: 514/25; 514/23, 514/53, 514/54, 514/61, 514/62, 514/8, 530/395, 536/1.11, 536/17.2, 536/18.7, 536/4.1

ABSTRACT:

Novel methods and compositions are provided for modulating homing of leukocytes, particularly lymphocytes, where the compounds are cross-reactive with Neu5Ac2-3Gal.beta.1-X[Fuc.alpha.1-y]GlcNAc, where one of x and y is three and the other is four. These compounds may be administered to a host associated with inflammation, to avoid the deleterious effects of leukocyte infiltration.

3 Claims, 1 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 1

	ull	Title	Citation	Front	Review	Classification	Date	Reference	samulan gas	Principality of	Claims	KWMC	Drawu De
		16.	Docum	ent ID): US 6	369030 B1							-
L5	: E	ntry	16 of	48				File:	USPT		Apr	9,	2002

US-PAT-NO: 6369030

DOCUMENT-IDENTIFIER: US 6369030 B1

TITLE: Inhibitors of histone acetyltransferases (HATs) and uses thereof

DATE-ISSUED: April 9, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cole; Philip A.	Baltimore	MD		
Soccio; Raymond E.	New York	NY		
Lau; Ontario D.	Brooklyn	NY		
Khalil; Ehab M.	Bronx	NY		
Kundu; Tapas K.	Karnataka			IN
Roeder; Robert G.	New York	NY		

US-CL-CURRENT: 514/12; 514/15, 514/16, 514/17, 530/324, 530/326, 530/328, 530/329, 530/330, 530/345

ABSTRACT:

Histone acetyltransferase inhibitors, especially those that are differentiate between p300 and PCAF histone acetyltransferase; also therapeutic processes comprising their administration to humans.

2 Claims, 15 Drawing figures

Record List Display Page 12 of 22

Exemplary Claim Number: 1
Number of Drawing Sheets: 9

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
							and the second s					
	17.	Docum	ent ID	: US 6	348589 B1							
L5: E	Entry	17 of	48				File: [JSPT		Feb	19,	2002

US-PAT-NO: 6348589

DOCUMENT-IDENTIFIER: US 6348589 B1

** See image for Certificate of Correction **

TITLE: Certain dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency

DATE-ISSUED: February 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Pendergast; William	Durham	NC		
Yerxa; Benjamin R.	Raleigh	NC		
Rideout; Janet L.	Raleigh	NC		
Siddiqi; Suhaib M.	Raleigh	NC		

US-CL-CURRENT: 536/25.6; 536/26.1

ABSTRACT:

The present invention relates to certain novel dinucleotides and formulations thereof which are highly selective agonists of the P2Y.sub.2 and/or P2Y.sub.4 purinergic receptor. They are useful in the treatment of chronic obstructive pulmonary diseases such as chronic bronchitis, PCD, cystic fibrosis, as well as prevention of pneumonia due to immobility. Furthermore, because of their general ability to clear retained mucus secretions and stimulate ciliary beat frequency, the compounds of the present invention are also useful in the treatment of sinusitis, otitis media and nasolacrimal duct obstruction. They are also useful for treatment of dry eye disease and retinal detachment.

9 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D
	18.	Docum	ent ID	: US 6	333149 B1							
5: E	ntry	18 of	48				File: U	SPT		Dec	25,	2001

US-PAT-NO: 6333149

DOCUMENT-IDENTIFIER: US 6333149 B1

Record List Display Page 13 of 22

** See image for Certificate of Correction **

TITLE: NMR-solve method for rapid identification of bi-ligand drug candidates

DATE-ISSUED: December 25, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Sem; Daniel S. San Diego CA

US-CL-CURRENT: 435/4; 435/15, 435/16, 435/25, 435/26

ABSTRACT:

Methods for rapidly identifying drug candidates that bind to an enzyme at both a common ligand site and a specificity ligand site, resulting in high affinity binding. The bi-ligand drug candidates are screened from a focused combinatorial library where the specific points of variation on a core structure are optimized. The optimal points of variation are identified by which atoms of a ligand bound to the common ligand site are identified to be proximal to the specificity ligand site. As a result, the atoms proximal to the specificity ligand site can then be used as a point for variation to generate a focused combinatorial library of high affinity drug candidates that bind to both the common ligand site and the specificity ligand site. Different candidates in the library can then have high affinity for many related enzymes sharing a similar common ligand site.

33 Claims, 17 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 6

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, D
П	19.	Docum	ent ID): US 6	329506 B1							

File: USPT

US-PAT-NO: 6329506

L5: Entry 19 of 48

DOCUMENT-IDENTIFIER: US 6329506 B1

TITLE: Template-assisted triple helical collagen-like structures

DATE-ISSUED: December 11, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY Goodman; Murray La Jolla CA Taulane; Joseph P. San Diego CA Feng; Yangbo La Jolla CA Melacini; Giuseppe La Jolla CA

US-CL-CURRENT: <u>530/356</u>; <u>530/330</u>, <u>530/402</u>

Dec 11, 2001

ABSTRACT:

Synthetic collagen in triple helical conformation and comprising amino acid chains of repeating trimers of highly populated collagen sequences as well as those sequences wherein the proline or hydroxyproline residue is replaced with a peptoid residue. The invention includes methods of preparing synthetic collagen structures having the triple helix conformation present in collagen from collagen-type polypeptides and poly(peptide-peptoid residue) chains by means of a helix-inducing template.

21 Claims, 5 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 4

Full Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De |

20. Document ID: US 6313109 B1

File: USPT

L5: Entry 20 of 48

Nov 6, 2001

US-PAT-NO: 6313109

DOCUMENT-IDENTIFIER: US 6313109 B1

TITLE: Prenyl transferase inhibitors

DATE-ISSUED: November 6, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Kim; Sun H. Needham MA

US-CL-CURRENT: 514/183; 540/450, 540/451, 540/484, 540/485, 540/544

ABSTRACT:

A family of compounds capable of inhibiting the activity of prenyl transferases. The compounds are covered by the four following formulas ##STR1##

Each of the R groups is defined in the disclosure.

12 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De

☐ 21. Document ID: US 6281245 B1

L5: Entry 21 of 48 File: USPT Aug 28, 2001

US-PAT-NO: 6281245

DOCUMENT-IDENTIFIER: US 6281245 B1

Record List Display Page 15 of 22

TITLE: Methods for solid-phase synthesis of hydroxylamine compounds and derivatives, and combinatorial libraries thereof

DATE-ISSUED: August 28, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Patel; Dinesh V. Fremont CA Ngu; Khehyong Lawrenceville NJ

US-CL-CURRENT: 514/575

ABSTRACT:

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteinases).

27 Claims, 34 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 34

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw, De

☐ 22. Document ID: US 6232450 B1

L5: Entry 22 of 48 File: USPT May 15, 2001

US-PAT-NO: 6232450

DOCUMENT-IDENTIFIER: US 6232450 B1

TITLE: Inhibition of human fucosyltransferases with N-linked Lewis-x and LacNAc

analogs

DATE-ISSUED: May 15, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Wong; Chi-Huey Rancho Sante Fe CA

US-CL-CURRENT: 536/17.2; 536/123.13, 536/17.3, 536/17.4, 546/207, 546/282.1,

<u>546/283.1</u>, <u>546/329</u>

ABSTRACT:

A new class of N-linked Lewis and LacNAc analogs of are synthesized and shown to be effective inhibitors of human fucosyltransferases. In a high yielding reaction sequence the glucosamine derivative 1 was transformed to the 3-azido-2,3-dideoxy

Page 16 of 22

sugar 2e under excellent stereocontrol. The LacNAc analog 4d was synthesized as a single isomer in three steps starting from 2e. In a one pot procedure iminocyclitol 5 was transformed into aldehyde 6 and successfully used for reductive amination with 4c and 2f yielding trisaccharide 8a, and disaccharide 7a.

5 Claims, 5 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Drawe D
							100 4 3/3					

☐ 23. Document ID: US 6229016 B1

L5: Entry 23 of 48

File: USPT

May 8, 2001

US-PAT-NO: 6229016

DOCUMENT-IDENTIFIER: US 6229016 B1

TITLE: Method for treating tumors using 2-aryl-naphthyridin-4-ones

DATE-ISSUED: May 8, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Lee; Kuo-Hsiung Chapel Hill NC Chen; Ke Chapel Hill NC

Kuo; Sheng-Chu Tai Chung TW

US-CL-CURRENT: 546/121; 544/180, 544/282, 544/333

ABSTRACT:

The present invention provides compounds of Formula I: ##STR1##

wherein A and R.sub.1 -R.sub.8 are defined herein. The compounds of Formula I inhibit the polymerization of tubulin and possess antimitotic activity. The compounds of Formula I may be useful for the treatment of psoriasis, gout, papiloma, warts, and a variety of tumors.

11 Claims, 0 Drawing figures Exemplary Claim Number: 1

L5: Entry 24 of 48

File: USPT

Apr 3, 2001

US-PAT-NO: 6211191

DOCUMENT-IDENTIFIER: US 6211191 B1

Page 17 of 22

Record List Display

TITLE: Integrin receptor antagonists

DATE-ISSUED: April 3, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Duggan; Mark E. Schwenksville PA
Perkins; James J. Churchville PA
Meissner; Robert S. Schwenksville PA

US-CL-CURRENT: <u>514/274</u>; <u>544/296</u>, <u>544/316</u>, <u>562/13</u>

ABSTRACT:

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5, and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

23 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full Title Citation Front Review	Classification Date R	eference Sequences Attachments	Claims KMC Drawu

☐ 25. Document ID: US 6169115 B1

L5: Entry 25 of 48 File: USPT Jan 2, 2001

US-PAT-NO: 6169115

DOCUMENT-IDENTIFIER: US 6169115 B1

TITLE: Use of aminoguanidine analogs for the treatment of diseases of the nervous

system

DATE-ISSUED: January 2, 2001

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Kaddurah-Daouk; Rima Belmont MA 02178

US-CL-CURRENT: 514/565

ABSTRACT:

The present invention relates to the use of aminoguanidine compounds for treating diseases of the nervous system. Aminoguanidine compounds can be used as therapeutically effective agents against a variety of diseases of the nervous system such as diabetic and toxic neuropathies, peripheral nervous system diseases,

Record List Display Page 18 of 22

Alzheimer's disease, Parkinson's disease, stroke, Huntington's disease, amyotropic lateral sclerosis, motor neuron disease, traumatic nerve injury, multiple sclerosis, dysmyelination and demyelination disorders, and mitochondrial diseases. The aminoguanidine compounds which can be used in the present method include (1) aminoguanidine and diaminoguanidine analogs which can act as substrates or substrate analogs for creatine kinase; (2) bisubstrate inhibitors of creatine kinase comprising covalently linked structural analogs of adenosine triphosphate (ATP) and aminoguanidine; (3) aminoguanidine analogs which can act as reversible or irreversible inhibitors of creatine kinase; and (4) N-phosphoroaminoguanidine analogs bearing nontransferable moieties which mimic the N-phosphoryl group.

13 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De
	26	Docum	ent ID	· 115 6	127390 A							
		26 of		. 050	12/3/0/11		File:	IICDT		Oct	2	2000

US-PAT-NO: 6127390

DOCUMENT-IDENTIFIER: US 6127390 A

TITLE: Inhibitors of prenyl-protein transferase

DATE-ISSUED: October 3, 2000

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY deSolms; S. Jane Norristown PA Lumma, Jr.; William C. Pennsburg PA Shaw; Anthony W. Lansdale PΔ Sisko: John T. Lansdale PA Tucker; Thomas J. North Wales PΔ

US-CL-CURRENT: 514/341; 546/274.1, 546/274.4, 546/275.1

ABSTRACT:

The present invention is directed to compounds which inhibit prenyl-protein transferase (FTase) and the prenylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting prenyl-protein transferase and the prenylation of the oncogene protein Ras.

18 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KOMC	Draw, De

Record List Display Page 19 of 22

☐ 27. Document ID: US 6121233 A

L5: Entry 27 of 48 File: USPT Sep 19, 2000

US-PAT-NO: 6121233

DOCUMENT-IDENTIFIER: US 6121233 A

TITLE: Methods for the inhibition of cancer metastasis mediated by endothelial

adhesion molecules

DATE-ISSUED: September 19, 2000

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Magnani; John L. Rockville MD 20853

Butcher; Eugene C. Portola Valley CA
Berg; Ellen L. Fremont CA

US-CL-CURRENT: 514/8; 514/25, 514/53, 514/54, 514/61, 514/62

ABSTRACT:

Methods and compositions are disclosed for the inhibition of cancer metastases mediated by endothelial adhesion molecules. The present invention discloses that sialyl Le.sup.a and di-sialyl Le.sup.a, which are expressed at the surface of cancer cells, function as a binding partner for LEC-CAMs, such as ELAM-1, which are expressed at the surface of endothelial cells. The present invention also discloses that LEC-CAMs, such as ELAM-1, involved in cancer metastasis share a carbohydrate domain common to both sialyl Le.sup.a and sialyl Le.sup.x. Antibodies, saccharides, glycoconjugates, enzyme inhibitors and other compounds may be used in the methods of the present invention to inhibit the binding of malignant cells to endothelial cells for a variety of purposes in vivo and in vitro.

4 Claims, 3 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw, D

☐ 28. Document ID: US 6100254 A

L5: Entry 28 of 48 File: USPT Aug 8, 2000

US-PAT-NO: 6100254

DOCUMENT-IDENTIFIER: US 6100254 A

** See image for Certificate of Correction **

TITLE: Inhibitors of protein tyrosine kinases

DATE-ISSUED: August 8, 2000

INVENTOR-INFORMATION:

Page 20 of 22

NAME	CITY	STATE	ZIP	CODE	COUNTRY
Budde; Raymond J. A.	Bellaire	TX			
Ellman; Jonathan A.	Oakland	CA			
Levin; Victor A.	Houston	TX			
Gallick; Gary E.	Kingwood	TX			
Newman; Robert A.	Sugar Land	TX			

US-CL-CURRENT: 514/221; 540/504, 540/506, 540/507, 540/508, 540/509, 540/510, 540/511, 540/512, 540/513, 540/514

ABSTRACT:

Disclosed herein are small molecule, non-peptidyl inhibitors of protein tyrosine kinases, and methods for their use. The instant inhibitors are based on a 1,4-benzodiazepin-2-one nucleus. Methods are provided for inhibition of specific protein tyrosine kinases, for example pp60.sup.c-src. Methods are further provided for the use of these inhibitors in situations where the inhibition of a protein tyrosine kinase is indicated, for example, in the treatment of certain diseases in mammals, including humans.

31 Claims, 7 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 7

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences Attachmen	Claims	KWIC	Draw De

☐ 29. Document ID: US 6096710 A

L5: Entry 29 of 48

File: USPT

Aug 1, 2000

US-PAT-NO: 6096710

DOCUMENT-IDENTIFIER: US 6096710 A

TITLE: Collagen-like peptoid residue-containing structures

DATE-ISSUED: August 1, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Goodman; Murray	La Jolla	CA		
Taulane; Joseph P.	San Diego	CA		
Feng; Yangbo	La Jolla	CA		
Melacini; Giuseppe	La Jolla	CA		

US-CL-CURRENT: <u>514/17</u>; <u>514/18</u>, <u>530/330</u>

ABSTRACT:

Synthetic collagen in triple helical conformation and comprising amino acid chains of repeating trimers of highly populated collagen sequences as well as those sequences wherein the proline or hydroxyproline residue is replaced with a peptoid

Jul 25, 2000

residue. The invention includes methods of preparing synthetic collagen structures having the triple helix conformation present in collagen from collagen-type polypeptides and

poly(peptide-peptoid residue) chains by means of a helix-inducing template.

10 Claims, 4 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 4

			Claims	

File: USPT

US-PAT-NO: 6093737

L5: Entry 30 of 48

DOCUMENT-IDENTIFIER: US 6093737 A

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: July 25, 2000

INVENTOR-INFORMATION:

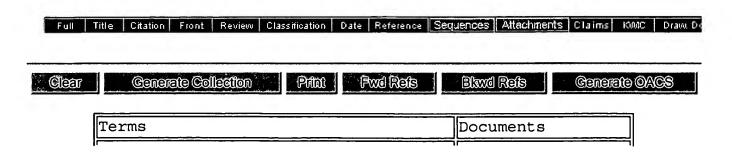
STATE ZIP CODE COUNTRY NAME CITY Hatfield PA Anthony; Neville J. Gomez; Robert P. Perkasie PA PA Tran; Lekhanh O. Norristown Young; Steven D. Lansdale PA

US-CL-CURRENT: 514/341; 514/252.03, 514/255.05, 514/256, 514/333, 544/333, 544/405, 546/256, 546/272.7, 546/274.1, 546/274.4, 546/274.7, 546/275.1

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

20 Claims, 0 Drawing figures Exemplary Claim Number: 1



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☐ 31. Document ID: US 6080870 A

Using default format because multiple data bases are involved.

L5: Entry 31 of 48

File: USPT

Jun 27, 2000

US-PAT-NO: 6080870

DOCUMENT-IDENTIFIER: US 6080870 A

TITLE: Biaryl substituted imidazole compounds useful as farnesyl-protein

transferase inhibitors

DATE-ISSUED: June 27, 2000

INVENTOR-INFORMATION:

STATE ZIP CODE COUNTRY NAME CITY Anthony; Neville J. Hatfield PA PA Gomez; Robert P. Perkasie Stokker; Gerald E. Gwynedd Valley PA Wai; John S. Harleysville PA Williams; Theresa M. Harleysville PA Halczenko; Wasyl Lansdale PA Hutchinson; John H. Philadelphia PA Young; Steven D. Lansdale PA Solinsky; Kelly M. Cincinnati OH

US-CL-CURRENT: 548/324.1; 548/336.1, 548/343.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, Di

☐ 32. Document ID: US 6077853 A

L5: Entry 32 of 48

File: USPT

Jun 20, 2000

US-PAT-NO: 6077853

DOCUMENT-IDENTIFIER: US 6077853 A

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: June 20, 2000

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Graham; Samuel L.

Schwenksville

PA

Young; Steven D.

Lansdale

PA

US-CL-CURRENT: 514/326; 514/397, 546/210, 548/314.7

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

19 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full Title Citation Front Review Classifi	cation Date Referer	nce Sequences Attachmen	ts Claims KWIC	Drawt D
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☐ 33. Document ID: US 6071930 A

L5: Entry 33 of 48

File: USPT

Jun 6, 2000

US-PAT-NO: 6071930

DOCUMENT-IDENTIFIER: US 6071930 A

TITLE: Method for treating tumors using 2-aryl-naphthyridin-4-ones

DATE-ISSUED: June 6, 2000

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Lee; Kuo-Hsiung Chapel Hill NC Chen; Ke Chapel Hill NC

Kuo; Sheng-Chu Tai Chung TW

US-CL-CURRENT: <u>514/300</u>; <u>544/180</u>, <u>544/242</u>, <u>546/122</u>, <u>546/123</u>

ABSTRACT:

The present invention provides compounds of Formula I: ##STR1##

wherein A and R.sub.1 -R.sub.8 are defined herein. The compounds of Formula I inhibit the polymerization of tubulin and possess antimitotic activity. The compounds of Formula I may be useful for the treatment of psoriasis, gout, papiloma, warts, and a variety of tumors.

26 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Drawt De

☐ 34. Document ID: US 6051574 A

L5: Entry 34 of 48

File: USPT

Apr 18, 2000

US-PAT-NO: 6051574

DOCUMENT-IDENTIFIER: US 6051574 A

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: April 18, 2000

INVENTOR-INFORMATION:

NAME

CITY

STATE ZIP CODE

COUNTRY

Anthony; Neville J.

Hatfield

PA

US-CL-CURRENT: <u>514/247</u>; <u>544/242</u>, <u>544/322</u>, <u>544/331</u>

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full Title	e Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, D

☐ 35. Document ID: US 5994367 A

L5: Entry 35 of 48

File: USPT

Nov 30, 1999

US-PAT-NO: 5994367

DOCUMENT-IDENTIFIER: US 5994367 A

** See image for Certificate of Correction **

TITLE: Method for treating tumors using 2-aryl-naphthyridin-4-ones

DATE-ISSUED: November 30, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Lee; Kuo-Hsiung Chapel Hill NC Chen; Ke Chapel Hill NC

Kuo; Sheng-Chu Tai Chung TW

US-CL-CURRENT: <u>514/300</u>; <u>435/7.2</u>, <u>546/122</u>

ABSTRACT:

The present invention provides compounds of Formula I: ##STR1## wherein A and R.sub.1 -R.sub.8 are defined herein. The compounds of Formula I inhibit the polymerization of tubulin and possess antimitotic activity. The compounds of Formula I may be useful for the treatment of psoriasis, gout, papiloma, warts, and a variety of tumors.

28 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full Title	e Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	ЮМС	Draw, De
□ 36.	Docum	nent ID): US 5	5990094 A							
L5: Entr	v 36 of	48				File: U	SPT		Nov	23,	1999

US-PAT-NO: 5990094

DOCUMENT-IDENTIFIER: US 5990094 A

TITLE: Inhibitors of sorotonin N-acetyltransferase

DATE-ISSUED: November 23, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Cole; Philip A. New York NY Khalil; Ehab Bronx NY

US-CL-CURRENT: 514/47; 536/26.23

ABSTRACT:

This invention is directed to a compound having the formula I. ##STR1## This invention is directed to a pharmaceutical composition comprising a compound which inhibits serotonin N-acetyltransferase having the formula I and a pharmaceutical acceptable carrier. The present invention relates to novel compounds and analogs which inhibit the serotonin N-acetyltransferase enzyme, and to processes for their preparation.

6 Claims, 29 Drawing figures Exemplary Claim Number: 1,5,6 Number of Drawing Sheets: 22

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Drawu
□ 3	37.	Docum	ent ID	: US 5	939557 A							

US-PAT-NO: 5939557

DOCUMENT-IDENTIFIER: US 5939557 A

Record List Display Page 5 of 13

** See image for Certificate of Correction **

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: August 17, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA Gomez; Robert P. Perkasie PA Solinsky; Kelly M. West Chester OH

US-CL-CURRENT: 548/314.7; 546/1, 546/139, 546/201, 548/146, 548/257, 548/312.4, 548/315.1, 548/315.4

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Altachments	Claims	KMC	Draw. [
												L
	38.	Docum	ent ID	: US 5	939439 A							

File: USPT

US-PAT-NO: 5939439

L5: Entry 38 of 48

DOCUMENT-IDENTIFIER: US 5939439 A

** See image for <u>Certificate of Correction</u> **

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: August 17, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP	CODE	COUNTRY
Anthony; Neville J.	Hatfield	PA			
Graham; Samuel L.	Schwenksville	PA			
Tran; Lekhanh O.	Norristown	PA			
Bell; Ian M.	Harleysville	PA			
deSolms; S. Jane	Norristown	PA			
Gomez; Robert P.	Perkasie	PA			
Kuo; Michelle Sparks	Gwynedd Valley	PA			
Lumma, Jr.; William C.	Pennsburg	PA			

Aug 17, 1999

Perlow; Debra S. East Greenville PA
Shaw; Anthony W. Lansdale PA
Wai; John S. Harleysville PA
Young; Steven D. Lansdale PA

US-CL-CURRENT: 514/333; 514/255.05, 544/405, 546/256

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

21 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De

☐ 39. Document ID: US 5883105 A

L5: Entry 39 of 48

File: USPT

Mar 16, 1999

US-PAT-NO: 5883105

DOCUMENT-IDENTIFIER: US 5883105 A

** See image for Certificate of Correction **

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: March 16, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA

US-CL-CURRENT: 514/277; 514/311, 514/336, 546/280.4, 546/280.7, 546/281.4

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full 1	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, De

☐ 40. Document ID: US 5880140 A

L5: Entry 40 of 48

File: USPT

Mar 9, 1999

US-PAT-NO: 5880140

DOCUMENT-IDENTIFIER: US 5880140 A

** See image for Certificate of Correction **

TITLE: Biheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: March 9, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA

US-CL-CURRENT: 514/333; 514/256, 514/269, 514/334, 514/335, 544/298, 544/331,

<u>544/333, 544/335, 546/256, 546/257, 546/261, 546/262</u>

ABSTRACT:

The present invention is directed to compounds of the formula A which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras: ##STR1## The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

19 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, D
									•			

☐ 41. Document ID: US 5874452 A

L5: Entry 41 of 48

File: USPT

Feb 23, 1999

US-PAT-NO: 5874452

DOCUMENT-IDENTIFIER: US 5874452 A

** See image for <u>Certificate of Correction</u> **

TITLE: Biheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: February 23, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA

US-CL-CURRENT: 514/365; 514/397, 548/203, 548/205, 548/314.7, 548/315.1

ABSTRACT:

Page 8 of 13

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

28 Claims, 0 Drawing figures Exemplary Claim Number: 1,10,14

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, D
_	40	D	4 ID	. TIC S	872136 A							

☐ 42. Document ID: US 5872136 A

L5: Entry 42 of 48

File: USPT

Feb 16, 1999

US-PAT-NO: 5872136

DOCUMENT-IDENTIFIER: US 5872136 A

TITLE: Arylheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: February 16, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA
Gomez; Robert P. Perkasie PA
Graham; Samuel L. Schwenksville PA

US-CL-CURRENT: <u>514/341</u>; <u>514/256</u>, <u>544/295</u>, <u>544/370</u>, <u>546/193</u>, <u>546/194</u>, <u>546/210</u>, <u>546/272.7</u>, <u>548/335.5</u>

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

30 Claims, 0 Drawing figures
Exemplary Claim Number: 1,12,16

vio Diava	CIAIIIIS	Attactioner its	Sedneuces	Reference	Date	Classification	Review	Front	Citation	Title	Full

☐ 43. Document ID: US 5859035 A

L5: Entry 43 of 48 File: USPT Jan 12, 1999

US-PAT-NO: 5859035

DOCUMENT-IDENTIFIER: US 5859035 A

** See image for Certificate of Correction **

TITLE: Arylheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: January 12, 1999

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA Gomez; Robert P. Perkasie PA Young; Steven D. Lansdale PA

US-CL-CURRENT: <u>514/365</u>; <u>514/374</u>, <u>514/378</u>, <u>514/397</u>, <u>548/202</u>, <u>548/208</u>, <u>548/238</u>, 548/247, <u>548/314.4</u>

ABSTRACT:

The present invention is directed to compounds which inhibit famesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting famesyl-protein transferase and the famesyl of the oncogene protein Ras.

31 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw, D

☐ 44. Document ID: US 5854265 A

L5: Entry 44 of 48 File: USPT Dec 29, 1998

US-PAT-NO: 5854265

DOCUMENT-IDENTIFIER: US 5854265 A

TITLE: Biheteroaryl inhibitors of farnesyl-protein transferase

DATE-ISSUED: December 29, 1998

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA

US-CL-CURRENT: <u>514/341</u>; <u>514/342</u>, <u>546/275.1</u>, <u>546/275.7</u>

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase

Record List Display Page 10 of 13

and the farnesylation of the oncogene protein Ras.

23 Claims, 0 Drawing figures Exemplary Claim Number: 1,10,14

Full Title Citation Front Review Classification Date Reference Sequences Attachments Claims KMC Draw. De

☐ 45. Document ID: US 5854264 A

L5: Entry 45 of 48

File: USPT

Dec 29, 1998

US-PAT-NO: 5854264

DOCUMENT-IDENTIFIER: US 5854264 A

** See image for Certificate of Correction **

TITLE: Inhibitors of farnesyl-protein transferase

DATE-ISSUED: December 29, 1998

INVENTOR-INFORMATION:

NAME CITY STATE ZIP CODE COUNTRY

Anthony; Neville J. Hatfield PA Gomez; Robert P. Perkasie PA

US-CL-CURRENT: 514/341; 514/151, 514/252.05, 514/255.05, 514/256, 544/333, 544/370, 546/272.7, 546/274.4, 546/274.7, 546/275.1

ABSTRACT:

The present invention is directed to compounds which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

15 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Seguences | Attachments | Claims | KWIC | Draw. De

☐ 46. Document ID: US 5840918 A

L5: Entry 46 of 48 File: USPT Nov 24, 1998

US-PAT-NO: 5840918

DOCUMENT-IDENTIFIER: US 5840918 A

TITLE: Isoprenyl transferase inhibitors

DATE-ISSUED: November 24, 1998

Page 11 of 13

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP	CODE	COUNTRY
Lewis; Michael D.	Andover	MA			
Kowalczyk; James J.	Andover	MA			
Christuk; Amy E.	Newbury	MA			
Fan; Rulin	Andover	MA			
Harrington; Edmund M.	Medford	MA			
Sheng; Xiaoning C.	Andover	MA			
Yang; Hu	North Andover	MA			
Garcia; Ana Maria	Belmont	MA			
Hishinuma; Ieharu	Moriya-Machi				JP
Nagasu; Takeshi	Nagakuni-Machi				JP
Yoshimatsu; Kentaro	Tsuchiura				JP

US-CL-CURRENT: <u>549/77</u>; <u>544/162</u>, <u>546/329</u>, <u>549/321</u>, <u>549/496</u>, <u>560/9</u>, <u>562/426</u>, <u>564/163</u>, <u>564/193</u>, <u>564/197</u>, <u>564/198</u>, <u>564/199</u>, <u>564/204</u>

ABSTRACT:

Peptidomimetic compounds useful in the treatment of Ras-associated human cancers, and other conditions mediated by farnesylated or geranylgeranylated proteins; and synthetic intermediates thereof.

19 Claims, 0 Drawing figures Exemplary Claim Number: 1

	Claims	Antendariantes.	SEGNED TO SE	Reference	Date	Classification	Review	Front	Citation	Title	Full
'									-		

☐ 47. Document ID: US 5767274 A

L5: Entry 47 of 48 File: USPT Jun 16, 1998

US-PAT-NO: 5767274

DOCUMENT-IDENTIFIER: US 5767274 A

TITLE: Prenyl transferase inhibitors

DATE-ISSUED: June 16, 1998

 ${\tt INVENTOR-INFORMATION:}$

NAME CITY STATE ZIP CODE COUNTRY

Kim; Sun H. Needham MA

US-CL-CURRENT: 540/467; 514/183

ABSTRACT:

A family of compounds capable of inhibiting the activity of prenyl transferases. The compounds are covered by either of the two following formulas ##STR1## Each of the R groups is defined in the disclosure.

14 Claims, 0 Drawing figures Exemplary Claim Number: 1

Full Title Citation Front Review Classification Date Reference Sequences Attachments Claims KMC Draw De

☐ 48. Document ID: US 5321030 A

L5: Entry 48 of 48

File: USPT

Jun 14, 1994

US-PAT-NO: 5321030

DOCUMENT-IDENTIFIER: US 5321030 A

TITLE: Creatine analogs having antiviral activity

DATE-ISSUED: June 14, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP	CODE	COUNTRY
Kaddurah-Daouk; Rima	Watertown	MA			
Lillie; James W.	Cambridge	MA			
Widlanski; Theodore S.	Bloomington	IN			
Burbaum; Jonathan J.	Westfield	NJ			
Forsyth; Craig J.	Arlington	MA			

US-CL-CURRENT: 514/275; 514/385, 514/386, 514/396, 514/553, 514/561, 514/563, 514/564, 514/579, 514/631, 514/636, 514/646

ABSTRACT:

The present invention relates to the use of analogs of creatine, such as cyclocreatine, as antiviral agents. Analogs of creatine can be used as antiviral agents against a variety of viruses, particularly DNA viruses, such as Herpes viruses (e.g., HSV-1, HSV-2, cytomegaloviruses, Varicella-Zoster virus) and adenovirus. The invention further relates to creatine analogs including four classes of creatine analogs selected as candidate antiviral compounds: (1) creatine analogs that can be phosphorylated by creatine kinase but differ in their phosphoryl group transfer potential, (2) <u>bisubstrate</u> inhibitors of creatine kinase comprising covalently linked structural analogs of adenosine triphosphate (ATP) and creatine, (3) creatine analogs which can act as irreversible inhibitors of creatine kinase, and (4) N-phosphorocreatine analogs bearing non-transferable moieties which mimic the N-phosphoryl group.

83 Claims, 38 Drawing figures Exemplary Claim Number: 1 Number of Drawing Sheets: 38

